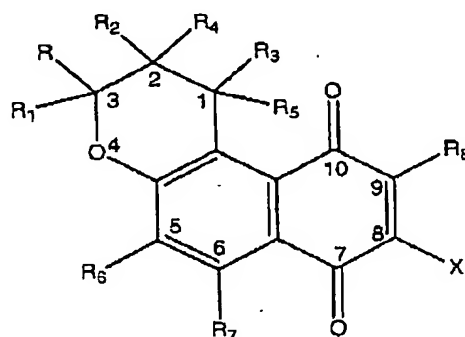


- 51 -

CLAIMS

1. A method of treatment or prophylaxis of hepatitis B virus in a subject comprising administering to said subject an effective amount of a compound of formula (1) or
 5 a pharmaceutically acceptable derivative, salt or prodrug thereof:



(1)

wherein X is OH, OR₉ or halo;

- R and R₁ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, aryl, or together with the carbon atom to which they are attached form a saturated or unsaturated C₃₋₆carbocyclic ring;
- 10 R₂ and R₃ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl or together with the bond between the carbon atoms to which they are attached form a double bond;
- 15 R₄ and R₅ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, OH, OR₉, halo or NR₁₀R₁₀ or together with the bond between the carbon atoms to which they are attached form a double bond;
- R₆ and R₇ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, OH or OR₉;
- 20 R₈ is independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, OH, OR₉ or halo;

- 52 -

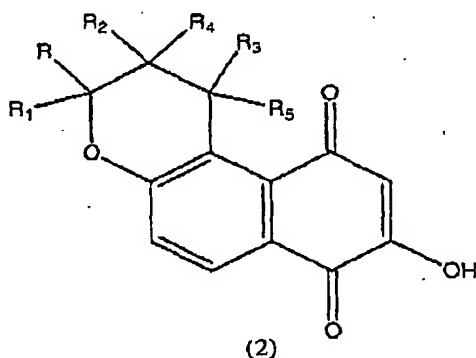
R_9 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, aryl, $C(=O)R_{11}$ or $S(O)_2R_{12}$ or OR_9 is an amino acid residue;

each R_{10} is independently selected from H and C_{1-6} alkyl;

R_{11} is C_{1-21} alkyl, C_{2-21} alkenyl, C_{2-21} alkynyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, aryl or aryl C_{1-6} alkyl; and

R_{12} is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl or aryl.

2. A method according to claim 1 wherein the compound of formula (1) is a compound of formula (2):



R and R_1 are independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, aryl, or together with the carbon atom to which they are attached form a saturated or unsaturated C_{3-6} carbocyclic ring;

R_2 and R_3 are independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl or together with the bond between the carbon atoms to which they are attached form a double bond;

R_4 and R_5 are independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, OH, OR_9 , halo or $NR_{10}R_{10}$ or together with the bond between the carbon atoms to which they are attached form a double bond;

R_9 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, aryl, $C(=O)R_{11}$ or $S(O)_2R_{12}$ or OR_9 is an amino acid residue;

- 53 -

each R₁₀ is independently selected from H and C₁₋₆alkyl;

R₁₁ is C₁₋₂alkyl, C₂₋₂₁alkenyl, C₂₋₂₁alkynyl, C₃₋₆cycloalkyl, C₂₋₆cycloalkyl(C₁₋₆alkyl, aryl or arylC₁₋₆alkyl); and

R₁₂ is C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl or aryl.

5

3. The method of claim 1 wherein the compound of formula (1) is selected from the group consisting of:

8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

8-hydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

10 9-bromo-8-hydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

9-bromo-8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

9-bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

15

9-bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

8-acetoxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

2,9-dibromo-1,8-dihydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

8,9-dichloro-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

20

7,8,10-triacetoxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran,

9-Bromo-8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione.

9-Bromo-8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione.

9-Bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione.

25

9-Bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

8-Bromo-3,3-dimethyl-9-(4-methylbenzenesulfonyloxy)-3*H*-naphtho[2,1-*b*]pyran-7,10-dione.

8-Bromo-3,3-dimethyl-9-(4-methylbenzenesulfonyloxy)-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

30

8,9-Dichloro-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

- 54 -

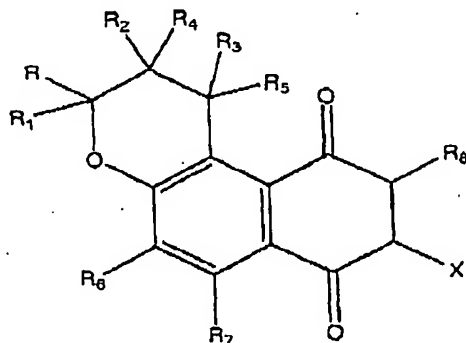
Sodium 3,3-dimethyl-7,10-dioxo-7,10-dihydro-3*H*-benzo[*f*]chromen-8-olate;
 Sodium 3,3-dimethyl-7,8-dioxo-7,8-dihydro-3*H*-benzo[*f*]chromen-10-olate
 8-Hydroxy-3-methyl-3-phenyl-3*H*-benzo[*f*]chromene-7,10-dione, and
 8-Hydroxy-3,3-diphenyl-3*H*-benzo[*f*]chromene-7,10-dione.

5

4. A method according to claim 1 wherein the compound of formula (1) is selected from the group consisting of:
 8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 8-hydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione).

10

5. The method of claim 1 wherein the compound of formula (1) is a compound of formula (3):



15

(3)

wherein X is OH, OR₉ or halo

R and R₁ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, aryl, or together with the carbon atom to which they are attached form a saturated or unsaturated C₃₋₆carbocyclic ring;

20

R₂ and R₃ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl or together with the bond between the carbon atoms to which they are attached form a double bond;

- 55 -

R_4 is selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, halo or $NR_{10}R_{10}$ or together with R_5 and the bond between the carbon atoms to which R_4 and R_5 are attached, form a double bond;

5 R_5 is selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, OH, OR_9 , halo or $NR_{10}R_{10}$ or together with R_4 and the bond between the carbon atoms to which R_4 and R_5 are attached, form a double bond;

R_6 and R_7 are independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, OH or OR_8 ;

10 R_8 is independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, OH, OR_9 or halo;

R_9 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, aryl, $C(=O)R_{11}$ or $S(O)_2R_{12}$ or OR_9 is an amino acid residue;

each R_{10} is independently selected from H and C_{1-6} alkyl;

15 R_{11} is C_{1-21} alkyl, C_{2-21} alkenyl, C_{2-21} alkynyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, aryl or aryl C_{1-6} alkyl; and

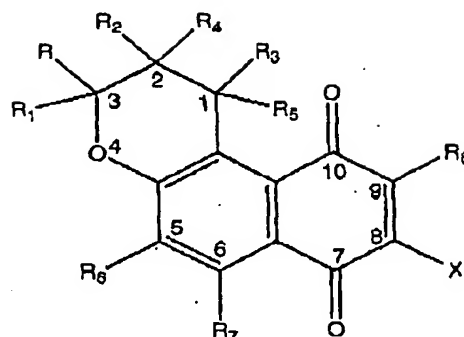
R_{12} is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl or aryl.

6. A method according to any one of claims 1 to 5 further comprising administering a second therapeutic agent.

20

7. A compound of Formula (1) or a pharmaceutically acceptable derivative, salt or prodrug thereof:

- 56 -



(1)

wherein X is OH, OR₉ or halo;

R and R₁ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, aryl, or together with the carbon atom to which they are attached form a saturated or unsaturated C₃₋₆carbocyclic ring;

R₂ and R₃ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl or together with the bond between the carbon atoms to which they are attached form a double bond;

R₄ and R₅ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, OH, OR₉, halo or NR₁₀R₁₀ or together with the bond between the carbon atoms to which they are attached form a double bond;

R₉ is C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, aryl, C(=O)R₁₁ or S(O)₂R₁₂ or OR₉ is an amino acid residue;

each R₁₀ is independently selected from H and C₁₋₆alkyl;

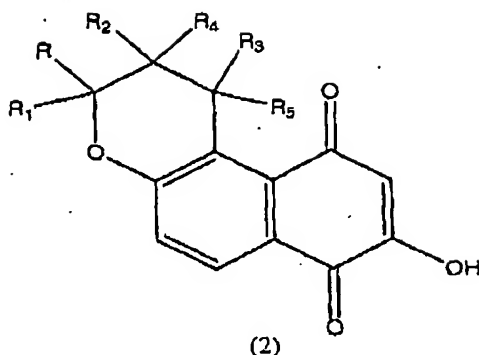
R₁₁ is C₁₋₂₁alkyl, C₂₋₂₁alkenyl, C₂₋₂₁alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkylC₁₋₆alkyl, aryl or arylC₁₋₆alkyl; and

R₁₂ is C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl or aryl;

with the proviso that when R and R₁ are both methyl and R is OH or OR₉, R₅ is not selected from OH, OR₉ or NHR₉.

8. A compound according to claim 7 wherein the compound of Formula (1) is a compound of formula (2):

- 57 -



- 5 R and R₁ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, aryl, or together with the carbon atom to which they are attached form a saturated or unsaturated C₃₋₆carbocyclic ring;
- R₂ and R₃ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl or together with the bond between the carbon atoms to which they are attached form a double bond;
- 10 R₄ and R₅ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, OH, OR₉, halo or NR₁₀R₁₀ or together with the bond between the carbon atoms to which they are attached form a double bond;
- R₆ and R₇ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, OH or OR₉;
- 15 R₈ is independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, OH, OR₉ or halo;
- R₉ is C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, aryl, C(=O)R₁₁ or S(O)₂R₁₂ or OR₉ is an amino acid residue;
- each R₁₀ is independently selected from H and C₁₋₆alkyl;
- 20 R₁₁ is C₁₋₂₁alkyl, C₂₋₂₁alkenyl, C₂₋₂₁alkynyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkylC₁₋₆alkyl, aryl or arylC₁₋₆alkyl; and
- R₁₂ is C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl or aryl.

- 58 -

9. A compound according to claim 7 wherein the compound of formula (1) is selected from the group consisting of:
- 8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 8-hydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 5 9-bromo-8-hydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 9-bromo-8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 9-bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 9-bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 10 8-acetoxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 2,9-dibromo-1,8-dihydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 8,9-dichloro-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 15 7,8,10-triacetoxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran,
 9-Bromo-8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione.
 9-Bromo-8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione.
 9-Bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione.
 20 9-Bromo-3,3-dimethyl-8-(4-methylbenzenesulfonyloxy)-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 8-Bromo-3,3-dimethyl-9-(4-methylbenzenesulfonyloxy)-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 8-Bromo-3,3-dimethyl-9-(4-methylbenzenesulfonyloxy)-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 25 8,9-Dichloro-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,
 Sodium 3,3-dimethyl-7,10-dioxo-7,10-dihydro-3*H*-benzo[*f*]chromen-8-olate;
 Sodium 3,3-dimethyl-7,8-dioxo-7,8-dihydro-3*H*-benzo[*f*]chromen-10-olate;
 8-Hydroxy-3-methyl-3-phenyl-3*H*-benzo[*f*]chromene-7,10-dione, and
 30 8-Hydroxy-3,3-diphenyl-3*H*-benzo[*f*]chromene-7,10-dione.

- 59 -

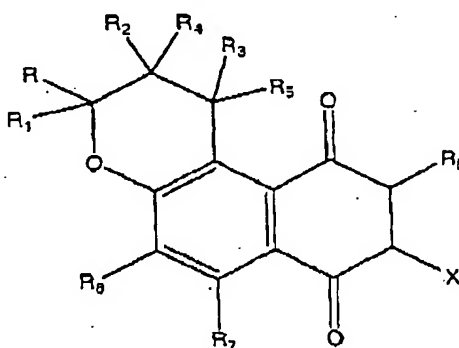
10. A compound according to claim 7 wherein the compound of formula (1) is selected from the group consisting of:

8-hydroxy-3,3-dimethyl-3*H*-naphtho[2,1-*b*]pyran-7,10-dione,

8-hydroxy-3,3-dimethyl-1,2-dihydro-3*H*-naphtho[2,1-*b*]pyran-7,10-dione).

5

11. The compound of claim 7 wherein the compound of formula (1) is a compound of formula (3):



(3)

10

wherein X is OH, OR₉ or halo

R and R₁ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, aryl, or together with the carbon atom to which they are attached form a saturated or unsaturated C₃₋₆carbocyclic ring;

15

R₂ and R₃ are independently selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl or together with the bond between the carbon atoms to which they are attached form a double bond;

R₄ is selected from H, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₆cycloalkyl, halo or NR₁₀R₁₀ or together with R₅ and the bond between the carbon atoms to which R₄ and R₅ are attached, form a double bond;

20

- 60 -

R_5 is selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, OH, OR_9 , halo or $NR_{10}R_{10}$ or together with R_4 and the bond between the carbon atoms to which R_4 and R_5 are attached, form a double bond;

5 R_6 and R_7 are independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, OH or OR_9 ;

R_8 is independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, OH, OR_9 or halo;

R_9 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, aryl, $C(=O)R_{11}$ or $S(O)_2R_{12}$ or OR_9 is an amino acid residue;

10 each R_{10} is independently selected from H and C_{1-6} alkyl;

R_{11} is C_{1-21} alkyl, C_{2-21} alkenyl, C_{2-21} alkynyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, aryl or aryl C_{1-6} alkyl; and

R_{12} is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl or aryl.

15 12. A pharmaceutical composition comprising a compound according to any one of claims 7 to 11 and a pharmaceutically acceptable carrier, diluent or excipient.